

Patent claims

1. Pharmaceutical composition, containing oxcarbazepine, which releases the following quantities of oxcarbazepine:

15 min: 55 to 85%
30 min: 75 to 95%
45 min: 85 to 100%
60 min: 90 to 100%

in vitro according to the USP paddle method (USP 24, method 724, app. 2 in 1 L 2 wt.-% sodium dodecylsulphate solution as release medium, at a stirring speed of 75 rpm).

2. Pharmaceutical composition according to claim 1, containing oxcarbazepine, which releases the following quantities of oxcarbazepine:

15 min: 65 to 80%
30 mm: 85 to 95%
45 min: 90 to 100%
60 min: 95 to 100%

in vitro according to the USP paddle method (USP 24, method 724, app. 2 in 1 L 2 wt.-% sodium dodecylsulphate solution as release medium, at a stirring speed of 75 rpm).

3. Pharmaceutical composition according to claim 1, which produces the following plasma concentrations of oxcarbazepine:

1.5 to 2 hours	0.2 to 0.6 mg/L
5.5 to 6.5 hours	0.1 to 0.3 mg/L
11 to 13 hours	0.1 to 0.2 mg/L
23 to 25 hours	0.0 to 0.2 mg/L

in vivo after peroral intake of the pharmaceutical composition, in such a way that 600 mg oxcarbazepine are administered, and which produces the following plasma concentrations of monohydroxydihydrocarbamazepine:

1.5 to 2 hours	1 to 4 mg/L
5.5 to 6.5 hours	3 to 5 mg/L
11 to 13 hours	3 to 5 mg/L
23 to 25 hours	2.5 to 4.5 mg/L

4. Pharmaceutical composition according to claim 1, which, *in vivo* after peroral intake of the pharmaceutical composition, in such a way that 600 mg oxcarbazepine are administered, produces an average plasma level of monohydroxydihydrocarbamazepine of 3 to 5 mg/mL in the period from 4 hours after intake to 21 hours after intake.

5. Pharmaceutical composition according to claim 1, which, *in vivo* after peroral intake of the pharmaceutical composition, in such a way that 600 mg oxcarbazepine are administered, produces a maximum plasma level (C_{max}) of monohydroxydihydrocarbamazepine of 3 to 5 mg/mL.

6. Process for the preparation of a pharmaceutical composition according to claim 1, in which a mixture which, relative to its total weight, contains

- a. 60 to 95 wt.-% oxcarbazepine,
- b. 3 to 30 wt.-% microcrystalline cellulose,
- c. 1 to 20 wt.-% ammonium methacrylate copolymer and/or polymethacrylic acid polymer,
- d. 0.05 to 4 wt.-% disintegrant and
- e. dye

is prepared and then compacted.

7. Process according to claim 6, in which a mixture which, relative to its total weight, contains

- a. 80 to 90 wt.-% oxcarbazepine,
- b. 5 to 15 wt.-% microcrystalline cellulose,
- c. 2 to 10 wt.-% ammonium methacrylate copolymer and/or polymethacrylic acid polymer,
- d. 0.1 to 2 wt.-% disintegrant and
- e. dye

is prepared and then compacted.

8. Process according to claim 6, in which the compacted material is screened and packed into capsules or into pouches unchanged or optionally provided with excipients.

9. Process according to claim 6, in which after the compacting, relative to 100 parts by weight of the compacted material,

- f. 0.2 to 5 parts by weight magnesium stearate and
- g. 10 to 50 parts by weight microcrystalline cellulose

are added and the thus-obtained mixture is further processed into a tablet.

10. Process for the preparation of a pharmaceutical composition according to claim 1, in which a granulated material which, relative to its total weight, contains

- A. 60 to 95 wt.-% oxcarbazepine
- B. 3 to 30 wt.-% microcrystalline cellulose
- C. 0.05 to 4 wt.-% disintegrant
- D. 1 to 20 wt.-% polymer
- E. 0.2 to 5 wt.-% plasticizer
- F. 0 to 5wt. -% anti-adherent agent
- G. dye

is prepared in a fluidized bed or in a high-shear mixer with the addition of water.

11. Process according to claim 10, in which the granulated material, relative to its total weight, contains:

- A. 80 to 90 wt.-% oxcarbazepine
- B. 5 to 15 wt.-% microcrystalline cellulose
- C. 0.1 to 2 wt.-% disintegrant
- D. 2 to 10 wt.-% polymer
- E. 0.4 to 2.5 wt.-% plasticizer
- F. 0 to 2.5 wt.-% anti-adherent agent
- G. dye q.s.

12. Process according to claim 10, in which, relative to 100 parts by weight of the granulated material,

- H. 0.2 to 0.5 parts by weight tablet lubricant and
- I. 10 to 50 parts by weight microcrystalline cellulose

are added and the thus-obtained mixture is further processed into a tablet.

13. Process according to claim 6, in which the compacted material, using relative to 100 parts by weight of the compacted material,

- F. 0.5 to 10 parts by weight polymethacrylic acid copolymer
- G. 0.025 to 2 parts by weight plasticizer
- H. 0.025 to 2 parts by weight anti-adherent agent

is coated with a film in the high-shear mixer with the addition of water.

14. Process according to claim 13, in which, relative to 100 parts by weight of the film-coated compacted material,

- I. 0.2 to 0.5 parts by weight tablet lubricant and
- J. 10 to 50 parts by weight microcrystalline cellulose

are added and the thus-obtained mixture is further processed into a tablet.

15. Process according to claim 9, in which the tablets are coated with a film in a drum coater, using water and, relative to 100 parts by weight of the tablet,

- H. 0.5 to 10 parts by weight polymethacrylic acid copolymer
- I. 0.025 to 2 parts by weight plasticizer
- J. 0.025 to 2 parts by weight anti-adherent agent, and
- K. dye and/or pigments.

16. Process according to claim 9, in which the tablets are coated with a film in a drum coater, using water and, relative to 100 parts by weight of the tablets,

- H. 0.5 to 10 parts by weight film former
- I. 0.0 to 2 parts by weight plasticizer
- J. 0.005 to 2 parts by weight anti-adherent agent, and
- K. dye and/or pigments.

17. Pharmaceutical composition which is obtained according to the process of to claim 7.

18. A process for the treatment of primarily generalized tonic-clonic seizures and/or focal seizures, with or without secondary generalization, comprising perorally administering an effective amount of the pharmaceutical composition according to claim 1.

19. A process for the treatment of neuralgic and cerebrovascular pains or for alcohol disintoxication, comprising perorally administering an effective amount of the pharmaceutical composition according to claim 1.

20. Pharmaceutical composition according to claim 1, which contains oxcarbazepine of the following particle size distribution:

Determination by laser beam diffraction (Malvern Mastersizer, dry dispersion)

$$d(0.1) = 20\mu\text{m} - 70\mu\text{m}$$

$$d(0.5) = 70\mu\text{m} - 175\mu\text{m}$$

$$d(0.9) = 200\mu\text{m} - 450\mu\text{m}$$

21. Pharmaceutical composition according to claim 1, which contains oxcarbazepine of the following particle size distribution:

Determination by laser beam diffraction (Malvern Mastersizer, dry dispersion)

$$d(0.1) = 25\mu\text{m} - 45\mu\text{m}$$

$$d(0.5) = 90\mu\text{m} - 125\mu\text{m}$$

$$d(0.9) = 250\mu\text{m} - 350\mu\text{m}$$

22. Process according to claim 8, in which the particle size of the compacted product lies within the following range:

Determination by sieve analysis (Retsch AS control)

$$>1.000\text{mm} = 0\% - 5\%$$

$$1.000\text{mm} - 0.500\text{mm} = 35\% - 65\%$$

$$0.500\text{mm} - 0.250\text{mm} = 15\% - 35\%$$

$$0.250\text{mm} - 0.125\text{mm} = 10\% - 25\%$$

$$0.125\text{mm} - 0.063\text{mm} = 0\% - 15\%$$

$$<0.063\text{mm} = 0\% - 5\%$$